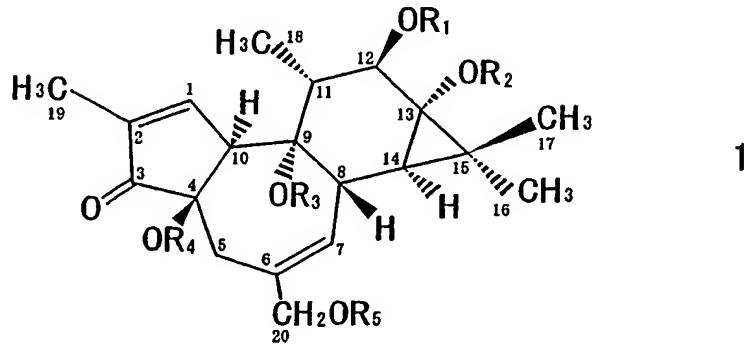


CLAIMS

1. An antiviral preparation characterized by comprising as an active ingredient, at least a phorbol derivative of formula 1:



wherein R₁ is a group of -(CH₂)_aX(CH₂)_bCH₃ wherein X is O or S, a is a number of 1 to 3, and b is a number of 0 to 5, a group of -(CH₂)_cX(CH₂)_dYCH₃ wherein X and Y are O or S, c is a number of 1 to 3, and d is a number of 1 to 5, a group of -CO(CH₂)_eCH₃ wherein e is a number of 0 to 12, or a group of -(CH₂)_fCH₃ wherein f is a number of 0 to 5,

R₂ is a group of -CO(CH₂)_nCH₃ wherein n is a number of 3 to 12, and

R₃, R₄ and R₅ are independently of one another, hydrogen atom, or an aliphatic or aromatic carboxylic acid residue, and

having a specific safety index S.I. = CC₅₀/EC₅₀ of 10 or more wherein EC₅₀ means a concentration at which HIV-1 induced cytopathogenic effect (CPE) in MT-4 cell is inhibited by 50%, and CC₅₀ means a concentration at which survival of MT-4 cell in a cell proliferation test is reduced by 50%.

2. The antiviral preparation according to claim 1, wherein R₁ in formula 1 is a group of -(CH₂)_aX(CH₂)_bCH₃ wherein X is O or S, a is a number of 1 to 3, and b is a number of 0 to 5.

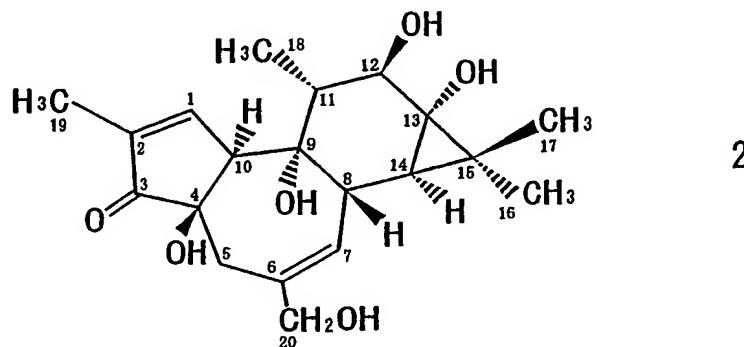
3. The antiviral preparation according to claim 1, wherein R₁ in formula 1 is a group of -(CH₂)_cX(CH₂)_dYCH₃ wherein X and Y are O or S, c is a number of 1 to 3, and d is a number of 1 to 5.

4. The antiviral preparation according to claim 1, wherein R₁ in formula 1 is a group of -CO(CH₂)_eCH₃ wherein e is a number of 0 to 12.

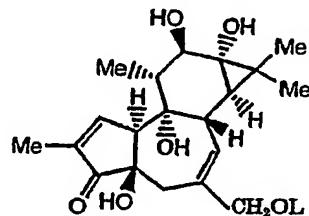
5. The antiviral preparation according to claim 1, wherein R, in formula 1 is a group of $-(CH_2)_f CH_3$ wherein f is a number of 0 to 5.

6. A process for producing the phorbol derivative of formula 1 according to claim 1, comprising:

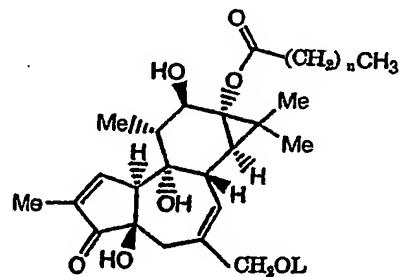
converting a group of $-CH_2OH$ on a naturally occurring or synthetic intermediate phorbol of formula 2:



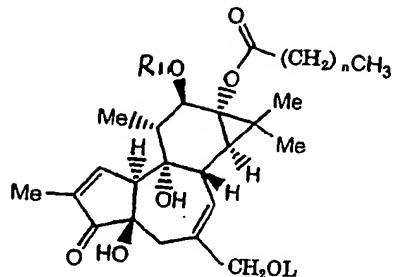
into a group of $-CH_2OL$ wherein L is a protective group, to produce a compound of formula



reacting the compound with a compound of $CH_3(CH_2)_nCOCl$ wherein n is the same meaning as the definition in claim 1, to produce a compound of formula



reacting the compound with a compound of R_1Cl wherein R_1 is the same meaning as the definition in claim 1, to produce a compound of formula



further converting a group of -CH₂OL on the resulting compound into a group of -CH₂OH.

7. An anti-HIV virus preparation comprising at least one of phorbol derivatives of formula 1 according to claim 1, and at least one of other agents having anti-HIV effect.
8. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is a reverse transcriptase inhibitor.
9. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that inhibits an integration of DNA mediated by an integrase.
10. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses a transcription of provirus.
11. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that inhibits a synthesis of core protein mediated by a protease.
12. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses an assembly and packaging of core proteins.
13. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses an aggregation of core proteins and extra-shell proteins.

14. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses a maturity of infectious virus particles released and escaped from cell membrane.